Title (en)

Derivatives of dihydro- and tetrahydrochinoline as a medicinal antioxydans

Title (de)

Dihydro- und Tetrahydrochinolinderivate als medizinisches Antioxydans

Title (fr)

Dérivés de dihydro- et tétrahydroquinoléine en tant qu'antioxydant médical

Publication

**EP 0995743 A1 20000426 (FR)**

Application

**EP 99402624 A 19991022**

Priority

FR 9813306 A 19981023

Abstract (en)

Dihydro- and tetrahydro-quinoline derivatives (I) are new. Dihydro- and tetrahydro-quinoline derivatives of formula (I) and their acid or base addition salts are new. R1 = H or a group of formula (i); A = H or -B'NZ1Z2; B' = 1-6C alkylene; Z1, Z2 = H, alkyl, 3-8C cycloalkyl or optionally substituted aryl; or NZ1Z2 = heterocycloalkyl or heteroaryl (optionally substituted); R2, R3 = alkyl, 3-8C cycloalkyl, heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, cycloalkylalkyl, heterocycloalkylalkyl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl or aminoalkyl (optionally substituted on N with 1 or 2 alkyl, cycloalkyl, aryl or arylalkyl); or R2 + R3 = form with C of quinoline, 3-8C cycloalkyl or heterocycloalkyl (optionally substituted with alkyl, cycloalkyl, cycloalkylalkyl, aryl or arylalkyl); R40 = alkyl, 2-6C alkenyl, 2-6C alkynyl (all optionally substituted), H, Q or -V'-Q; V' = 1-6C alkylene, 2-6C alkenylene or 2-6C alkynylene; Q = 3-8C cycloalkyl, aryl, heterocycloalkyl, heteroaryl (all optionally substituted); R5, R41 = H; or R5 + R41 = bond; R6-R9 = H, halo, alkyl, 3-8C cycloalkyl or -OW'; W' = aryl, heteroaryl, arylalkyl, heteroarylalkyl (all optionally substituted), H, alkyl, acyl, 3-8C cycloalkyl or heterocycloalkyl; alkyl = 1-6C; aryl = phenyl, naphthyl or biphenyl; heterocycloalkyl = partially unsaturated 4- to 11-membered mono- or bi-cyclic ring containing 1-6 N, S or O; heteroaryl = aromatic or partially aromatic 4- to 11-membered mono- or bi-cyclic ring containing 1-6 N, S or O; substituted aryl, arylalkyl = aryl or arylalkyl substituted with at least one halo, alkyl, 1-6C alkoxy, 1-6C perhaloalkyl, amino (optionally substituted by 1 or 2 alkyl), CN, carboxy, 1-6C alkoxycarbonyl, aminocarbonyl (optionally substituted with 1 or 2 alkyl on N), nitro or OH; substituted alkyl, alkenyl, alkynyl and cycloalkyl = alkyl, alkenyl, alkynyl and cycloalkyl substituted with at least one OH, 1-6C alkoxy, 1-6C alkylthio, amino (optionally substituted with 1 or 2 alkyl), carboxy, nitro, CN, 1-6C alkoxycarbonyl or aminocarbonyl (optionally substituted with 1 or 2 alkyl on N); substituted heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl = heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl substituted with at least one halo, alkyl, 1-6C alkoxy, 1-6C perhaloalkyl, amino (optionally substituted with 1 or 2 alkyl), CN, carboxy, 1-6C alkoxycarbonyl, aminocarbonyl (optionally substituted with 1 or 2 alkyl on N), nitro, OH or oxo; and provided that R6-R9 are not all H and at least one of R6-R9 is -OW', that R2 and R3 are alkyl when R6-R9 are H, alkyl, alkoxy, R41 and R5 form a bond, R40 is other than H or alkyl; when (I) has one OH and R40 is other than H; when (I) has one methoxy and R40 is other than hydroxyalkyl; and (I) is other than 7-methoxy-2,2-diphenyl-1,2-dihydroquinoline. An Independent claim is also included for the preparation of (I).

Abstract (fr)

Composés de formule générale (I): <IMAGE> dans laquelle : R1 représente un hydrogène ou <IMAGE> dans lequel A est tel que défini dans la description. R2 et R3 représentent indépendamment un alkyle, cycloalkyle, hétérocycloalkyle, aryle éventuellement substitué, hétéroaryle éventuellement substitué, cycloalkylalkyle, hétérocycloalkylalkyle, arylalkyle éventuellement substitué, hétéroarylalkyle éventuellement substitué, aminoalkyle éventuellement substitué, ou bien, R2 et R3 forment ensemble avec l'atome de carbone qui les porte un cycloalkyle ou un hétérocycloalkyle monocyclique substitué ou non. R40 représente un hydrogène, ou un groupement choisi parmi alkyle éventuellement substitué, alkényle éventuellement substitué, alkynyle éventuellement substitué, ou un groupement Q ou -V-Q dans lesquels V représente un alkylène, alkénylène, ou alkynylène, et Q représente un cycloalkyle éventuellement substitué, aryle éventuellement substitué, hétérocycloalkyle éventuellement substitué, ou hétéroaryle éventuellement substitué, R41 et R5 forment ensemble une liaison, ou représentent chacun un hydrogène, R6, R7, R8 et R9 représentent indépendamment un hydrogène, un halogène, un alkyle, cycloalkyle (C3-C8), ou -OW dans lequel W est tel que défini dans la description.

IPC 1-7 (main, further and additional classification)

**C07D 221/20**; A61K 31/47; C07D 215/20; C07D 401/06; C07D 471/10

IPC 8 full level (invention and additional information)

**C07D 215/06** (2006.01); **A61K 31/47** (2006.01); **A61K 31/497** (2006.01); **A61K 31/517** (2006.01); **A61P 9/10** (2006.01); **A61P 25/00** (2006.01); **A61P 25/08** (2006.01); **A61P 25/16** (2006.01); **A61P 25/28** (2006.01); **A61P 27/12** (2006.01); **A61P 43/00** (2006.01); **C07D 215/20** (2006.01); **C07D 221/20** (2006.01); **C07D 401/06** (2006.01); **C07D 471/10** (2006.01)

CPC (invention and additional information)

**C07D 221/20** (2013.01); **C07D 215/20** (2013.01); **C07D 401/06** (2013.01); **C07D 471/10** (2013.01)

Citation (search report)

- [X] US 4305932 A 19811215 - MENACHEMOFF EMIL, et al
- [A] EP 0350304 A2 19900110 - INT ASS OF FISH MEAL MANUFACTU [GB], et al

- [X] CHEMICAL ABSTRACTS, vol. 128, no. 5, 2 February 1998, Columbus, Ohio, US; abstract no. 48145q, SUZUKI, TOMOO ET AL.: "Preparation of malonic acid diamides as antiarteriosclerotics." XP002107502 & JP H09301953 A 19971125 - SANWA KAGAKU KENKYUSHO CO & DATABASE CHEMICAL ABSTRACTS XP002107505
- [X] CHEMICAL ABSTRACTS, vol. 113, no. 5, 30 July 1990, Columbus, Ohio, US; abstract no. 40418a, DE, DIBYENDU ET AL.: "Novel synthesis of 6,7-dialkoxy-2,2-dialkyl-3-hydroxyethyl-1,2,3,4-tetrahydroquinolines." XP002107503 & DATABASE CHEMICAL ABSTRACTS XP002107506 & INDIAN J. CHEM., SECT. B, vol. 29B(1), - 1990, pages 70 - 71
- [X] VASILLA PARTALI ET AL.: "Photo-Emde Degradation of 1,2,3,4-Tetrahydroquinolinium Salts", HELVETICA CHIMICA ACTA., vol. 68, - 1985, BASEL CH, pages 1952 - 1960, XP002107501
- [A] CHEMICAL ABSTRACTS, vol. 92, no. 18, 5 May 1980, Columbus, Ohio, US; abstract no. 149667e, SHMULOVICH, V. G. ET AL.: "Study of the antioxidant activity of inhibitors of the oxidation of paraffin oil hydrocarbons." XP002107504 & NEFTEKHIMIYA, vol. 19, no. 6, - 1979, pages 912 - 920

Cited by

WO2004087160A1

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